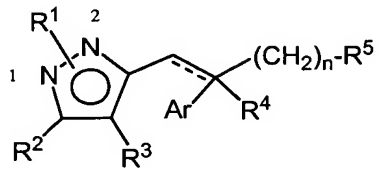
What is claimed is:

1. A CCK-1 receptor antagonist of the general formula:



(I)

wherein,

$R^1$ is a 1- or 2-position substituent selected from the group consisting of hydrogen,

a) phenyl, optionally mono-, di- or tri-substituted with $R^p$ or di-substituted on adjacent carbons with $-OC_{1-4}alkyleneO-$, $-(CH_2)_{2-3}NH-$, $-(CH_2)_{1-2}NH(CH_2)-$, $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$ or $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$;

$R^p$ is selected from the group consisting of –OH, $-C_{1-6}alkyl$, $-OC_{1-6}alkyl$, phenyl, -Ophenyl, benzyl, -Obenzyl, $-C_{3-6}cycloalkyl$, $-OC_{3-6}cycloalkyl$, -CN, $-NO_2$, $-N(R^y)R^z$ (wherein $R^y$ and $R^z$ are independently selected from H, $C_{1-6}alkyl$ or $C_{1-6}alkenyl$, or $R^y$ and $R^z$ may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or $>N(C_{1-4}alkyl)$, optionally having one carbon substituted with –OH, and optionally having one or two unsaturated bonds in the ring), $-(C=O)N(R^y)R^z$, $-(N-R^t)COR^t$, $-(N-R^t)SO_2C_{1-6}alkyl$ (wherein $R^t$ is H or $C_{1-6}alkyl$ or two $R^t$ in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members), $-(C=O)C_{1-6}alkyl$, $-(S=(O)_n)-C_{1-6}alkyl$ (wherein n is selected from 0, 1 or 2), $-SO_2N(R^y)R^z$, $-SCF_3$, halo, $-CF_3$, $-OCF_3$, -COOH and $-COOC_{1-6}alkyl$;

b) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered

aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or >N($C_{1-4}$alkyl) and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^p$;

c) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^p$;

d) naphthyl, optionally mono-, di- or tri-substituted with $R^p$;

e) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or >N($C_{1-4}$alkyl), having up to two additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with $R^p$ and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with $R^p$;

f) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with $R^p$ and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with $R^p$;

g) adamantanyl or monocyclic $C_{5-7}$cycloalkyl, optionally having one or two carbon members optionally replaced with >O, >NH or >N($C_{1-4}$alkyl) and optionally having one or two unsaturated bonds in the ring and optionally having one of the ring atoms substituted with -OH, =O or -$CH_3$;

h) a $C_{1-8}$alkyl;

i) $C_{1-4}$alkyl, mono-substituted by a substituent selected from the group consisting of any one of a) to g);

$R^2$ is selected from the group consisting of:

i) phenyl, optionally mono-, di- or tri- substituted with $R^q$ or di-substituted on adjacent carbons with -O$C_{1-4}$alkyleneO-,

$-(CH_2)_{2-3}NH-$, $-(CH_2)_{1-2}NH(CH_2)-$, $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$ or $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$;

$R^q$ is selected from the group consisting of –OH, $-C_{1-6}alkyl$, $-OC_{1-6}alkyl$, phenyl, -Ophenyl, benzyl, -Obenzyl, $-C_{3-6}cycloalkyl$, $-OC_{3-6}cycloalkyl$, -CN, $-NO_2$, $-N(R^y)R^z$ (wherein $R^y$ and $R^z$ are independently selected from H, $C_{1-6}alkyl$, $C_{1-6}alkenyl$, or $R^y$ and $R^z$ may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or $>N(C_{1-4}alkyl)$, optionally having one carbon substituted with -OH, and optionally having one or two unsaturated bonds in the ring, $-(C=O)N(R^y)R^z$, $-(N-R^t)COR^t$, $-(N-R^t)SO_2C_{1-6}alkyl$ (wherein $R^t$ is H or $C_{1-6}alkyl$ or two $R^t$ in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members), $-(C=O)C_{1-6}alkyl$, $-(S=(O)_n)-C_{1-6}alkyl$ (wherein n is selected from 0, 1 or 2), $-SO_2N(R^y)R^z$, $-SCF_3$, halo, $-CF_3$, $-OCF_3$, -COOH and $-COOC_{1-6}alkyl$;

ii) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or $>N(C_{1-4}alkyl)$ and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^q$;

iii) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^q$;

iv) naphthyl, optionally mono-, di- or tri-substituted with $R^q$;

v) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or $>N(C_{1-6}alkyl)$, having up to one additional carbon atoms optionally replaced by N, optionally

mono- or di-substituted with $R^q$ and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with $R^q$; and

vi) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with $R^p$ and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with $R^q$;

$R^3$ is selected from the group consisting of H, halo, and $C_{1-6}$alkyl;

n is selected from 0,1, or 2, with the proviso that where $R^5$ is attached through –S-, the n is 1 or 2;

$R^4$ is selected from the group consisting of H, halo or $C_{1-6}$alkyl or a covalent bond in the case where the a double bond is present in the above structure;

Ar is selected from the group consisting of:

A) phenyl, optionally mono-, di- or tri-substituted with $R^r$ or di-substituted on adjacent carbons with -O$C_{1-4}$alkyleneO-, -$(CH_2)_{2-3}$NH-, -$(CH_2)_{1-2}$NH$(CH_2)$-, -$(CH_2)_{2-3}$N$(C_{1-4}$alkyl)- or -$(CH_2)_{1-2}$N$(C_{1-4}$alkyl$)(CH_2)$-;

$R^r$ is selected from the group consisting of –OH, -$C_{1-6}$alkyl, -O$C_{1-6}$alkyl, phenyl, -Ophenyl, benzyl, -Obenzyl, -$C_{3-6}$cycloalkyl, -O$C_{3-6}$cycloalkyl, -CN, -$NO_2$, -$N(R^y)R^z$ (wherein $R^y$ and $R^z$ are independently selected from H, $C_{1-6}$alkyl or $C_{1-6}$alkenyl, or $R^y$ and $R^z$ may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or >N($C_{1-4}$alkyl), optionally having one carbon substituted with -OH, and optionally having one or two unsaturated bonds in the ring), -$(C{=}O)N(R^y)R^z$, -$(N\text{-}R^t)COR^t$, -$(N\text{-}R^t)SO_2C_{1-6}$alkyl (wherein $R^t$ is H or $C_{1-6}$alkyl or two $R^t$ in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6

members), -(C=O)$C_{1-6}$alkyl, -(S=(O)$_n$)-$C_{1-6}$alkyl (wherein n is selected from 0, 1 or 2), -$SO_2N(R^y)R^z$, -$SCF_3$, halo, -$CF_3$, -$OCF_3$, -COOH and -$COOC_{1-6}$alkyl;

B) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or >N($C_{1-4}$alkyl) and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^r$;

C) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^r$;

D) naphthyl, optionally mono-, di- or tri-substituted with $R^r$;

E) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or >N($C_{1-4}$alkyl), having up to one additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with $R^r$ and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with $R^r$; and

F) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with $R^r$ and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with $R^r$;

$R^5$ is selected from the group consisting of;

I) -$COOR^6$, where $R^6$ is selected from the group consisting of H and -$C_{1-4}$alkyl,

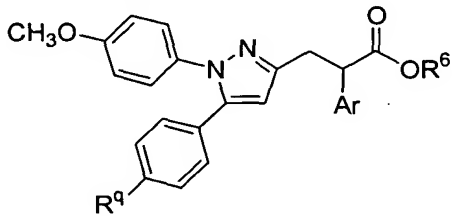
II) -$CONR^7R^8$, where $R^7$ and $R^8$ are independently selected from the group consisting of hydrogen, $C_{1-6}$alkyl and $C_{3-6}$cycloalkyl optionally hydroxy substituted, or $R^7$ and $R^8$ may be taken together with the

nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 5 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or >N($C_{1-4}$alkyl) and optionally having one or two unsaturated bonds in the ring; and

III) tetrazolyl, [1,2,4]triazol-3-ylsulfanyl, [1,2,4]triazol-3-ylsulfonyl, [1,2,4]triazole-3-sulfinyl and [1,2,3]triazol-4-ylsulfanyl, [1,2,3]triazol-4-ylsulfonyl, [1,2,3]triazol-4-sulfinyl.

and enantiomers, diastereomers and pharmaceutically acceptable salts and esters thereof;

except said formula does not include compounds of the following formula, and/or racemic mixtures of such compounds:




where $R^q$, Ar and $R^6$ are selected concurrently from the groups consisting of:

| CP# | $R^q$ | Ar | $R^6$ |
|---|---|---|---|
| R1 | -Cl | phenyl- | $-CH_2CH_3$ |
| R2 | -Cl | 3,4-diMeO-phenyl- | $-CH_2CH_3$ |
| R3 | -Cl | 4-MeO-phenyl- | $-CH_2CH_3$ |
| R4 | $-CH_3$ | 2-naphthyl- | $-CH_2CH_3$ |
| R5 | $-CH_3$ | 1-naphthyl- | $-CH_2CH_3$ |
| R6 | $-CH_3$ | 2-MeO-phenyl- | $-CH_2CH_3$ |
| R7 | $-CH_3$ | 2-pyridyl- | $-CH_2CH_3$ |

| | | | |
|---|---|---|---|
| R8 | $-CH_3$ | 2-carboxymethyl-phenyl- | $-CH_2CH_3$ |
| R9 | $-CH_3$ | 3-pyridyl- | $-CH_2CH_3$ |
| R10 | -Cl | 4-MeO-phenyl- | -H |
| R11 | -Cl | 3,4-diMeO-phenyl- | -H |
| R12 | $-CH_3$ | 2-naphthyl- | -H |
| R13 | $-CH_3$ | 1-naphthyl- | -H |
| R14 | $-CH_3$ | 2-MeO-phenyl- | -H |
| R15 | $-CH_3$ | 2-carboxy-phenyl- | -H |
| R16 | $-CH_3$ | 4-biphenyl | $-CH_2CH_3$ and |
| R17 | -CH3 | 4-biphenyl | -H. |

2. The compound of claim 1 wherein $R^1$, optionally substituted with $R^p$, is selected from the group consisting of hydrogen:

a) phenyl, 5-, 6-, 7-, 8-benzo-1,4-dioxanyl, 4-, 5-, 6-, 7-benzo-1,3-dioxolyl, 4-, 5-, 6-, 7-indolinyl, 4-, 5-, 6-, 7-isoindolinyl, 1,2,3,4-tetrahydro-quinolin-4, 5, 6 or 7-yl, 1,2,3,4-tetrahydro-isoquinolin-4, 5, 6 or 7-yl,

b) 4-, 5-, 6- or 7-benzoxazolyl, 4-, 5-, 6- or 7-benzothiophenyl, 4-, 5-, 6- or 7-benzofuranyl, 4-, 5-, 6- or 7-indolyl, 4-, 5-, 6- or 7-benzthiazolyl, 4-, 5-, 6- or 7-benzimidazolyl, 4-, 5-, 6- or 7-indazolyl, imidazo[1,2-a]pyridin-5, 6, 7 or 8-yl, pyrazolo[1,5-a]pyridin-4, 5, 6 or 7-yl, 1H-pyrrolo[2,3-b]pyridin-4, 5 or 6-yl, 1H-pyrrolo[3,2-c]pyridin-4, 6 or 7-yl, 1H-pyrrolo[2,3-c]pyridin-4, 5 or 7-yl, 1H-pyrrolo[3,2-b]pyridin-5, 6 or 7-yl,

c) 5-, 6-, 7- or 8-isoquinolinyl, 5-, 6-, 7- or 8-quinolinyl, 5-, 6-, 7- or 8-quinoxalinyl, 5-, 6-, 7- or 8-quinazolinyl,

d) naphthyl,

e) furanyl, oxazolyl, isoxazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, thiophenyl, thiazolyl, isothiazolyl, pyrrolyl, imidazolyl, pyrazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 3-indoxazinyl, 2-benzoxazolyl, 2- or 3-benzothiophenyl, 2- or 3-benzofuranyl, 2- or 3-indolyl, 2-benzthiazolyl, 2-benzimidazolyl, 3-indazolyl,

f) pyridinyl, pyridinyl-N-oxide, pyrazinyl, pyrimidinyl, pyridazinyl, 1-, 3- or 4-isoquinolinyl, 2-, 3- or 4-quinolinyl, 2- or 3-quinoxalinyl, 2- or 4-quinazolinyl, 1-oxy-pyridin-2, 3, or 4-yl,

g) cyclopentyl, cyclohexyl, cycloheptyl, piperidin-2,3 or 4-yl, 2-pyrrolin-2, 3, 4 or 5-yl, 3-pyrrolin-2 or 3-yl, 2-pyrazolin-3, 4 or 5-yl, morpholin-2, 3, 5 or 6-yl, thiomorpholin-2, 3, 5 or 6-yl, piperazin-2, 3, 5 or 6-yl, pyrrolidin-2 or 3-yl, homopiperidinyl, adamantanyl,

h) methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, t-butyl, n-pentyl, pent-2-yl, hexyl, hex-2-yl, and

i) -$C_{1-2}$alkyl mono-substituted with any one of the preferred substituents of a) to g).

3. The compound of claim 1 wherein $R^1$, optionally substituted with $R^p$, is selected from the group consisting of H, methyl, phenyl, benzyl, cyclohexyl, cyclohexylmethyl, pyridinyl, pyridinylmethyl and pyridinyl-N-oxide.

4. The compound of claim 1 wherein $R^1$ are selected from the group consisting of phenyl, 2-methoxy-phenyl, 3-methoxy-phenyl, 4-methoxy-phenyl, 2,3-dimethoxy-phenyl, 3,4-dimethyoxy-phenyl, 2-chloro-phenyl, 3-chloro-phenyl, 4-chloro-phenyl, 2,4-dicloro-phenyl, 3,4-dichlorophenyl, 2,4-dichlorophenyl, 2,5-dichlorophenyl, 2-methyl-phenyl, 3-methyl-phenyl, 4-methyl-phenyl, 2,5-dimethyl-phenyl, 2-trifluoromethyl-phenyl, 3-trifluoromethyl-phenyl, 4-trifluoromethyl-phenyl, 3-trifluoromethoxy-phenyl, 4-trifluoromethoxy-phenyl, 4-t-butyl-phenyl, benzyl, cyclohexyl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, 4-triflouromethyl-2-pyridyl, 2-pyridyl-N-oxide, 4-methanesulfonyl-phenyl, 4-phenoxy-phenyl, 4-isopropyl-phenyl, 4-ethoxy-phenyl, 4-hydroxy-phenyl, 4-

pyridinyl-methyl, benzo[1,3]diox-5-yl, 2,3-diydro benzo[1,4]dioxin-6-yl and cyclohexylmethyl.

5. The compound of claim 1 wherein $R^p$ is selected from the group consisting of –OH, $-CH_3$, $-CH_2CH_3$, i-propyl, t-butyl, $-OCH_3$, $-OCH_2CH_3$, $-OCH(CH_3)_2$, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, -Ocyclopentyl, -Ocyclohexyl, phenyl, -Ophenyl, benzyl, -Obenzyl, -CN, $-NO_2$, $-C(O)NH_2$, $-C(O)N(CH_3)_2$, $-C(O)NH(CH_3)$, -NH(CO)H, $-NHCOCH_3$, $-NCH_3(CO)H$, $-NCH_3COCH_3$, $-NHSO_2CH_3$, $-NCH_3SO_2CH_3$, $-C(O)CH_3$, $-SOCH_3$, $-SO_2CH_3$, $-SO_2NH_2$, $-SO_2NHCH_3$, $-SO_2N(CH_3)_2$, $-SCF_3$ -F, -Cl, -Br, I, $-CF_3$, $-OCF_3$, -COOH, $-COOCH_3$, $-COOCH_2CH_3$, $-NH_2$, $-NHCH_3$, $-NHCH_2CH_3$, $-NH(CH_2CH_2CH_3)$, $-NH(CH(CH_3)CH_2CH_3)$, -NH(allyl), $-NH(CH_2(CH_3)_2)$, $-N(CH_3)_2$, $-N(CH_2CH_3)_2$, $-NCH_3(CH_2CH_2CH_3)$, $-NCH_3(CH_2CH_3)$, $-NCH_3(CH(CH_3)_2)$, pyrrolidin-2-one-1-yl, azetidinyl, piperidin-1-yl, 2- or 3-pyrrolin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, piperazin-1-yl, pyrrolidin-1-yl, homopiperidin-1-yl.

6. The compound of claim 1 wherein $R^p$ is selected from the group consisting of hydrogen, methyl, methoxy, ethoxy, chloro, fluoro, trifluoromethyl, trifluoromethoxy, t-butyl, methanesulfonyl, phenoxy, isopropyl and hydroxy.

7. The compound of claim 1 wherein $R^2$, optionally substituted with $R^q$, is selected from the group consisting of:

i) phenyl, 5-, 6-, 7-, 8-benzo-1,4-dioxanyl, 4-, 5-, 6-, 7-benzo-1,3-dioxolyl, 4-, 5-, 6-, 7-indolinyl, 4-, 5-, 6-, 7-isoindolinyl, 1,2,3,4-tetrahydro-quinolin-4, 5, 6 or 7-yl, 1,2,3,4-tetrahydro-isoquinolin-4, 5, 6 or 7-yl,

ii) 4-, 5-, 6- or 7-benzoxazolyl, 4-, 5-, 6- or 7-benzothiophenyl, 4-, 5-, 6- or 7-benzofuranyl, 4-, 5-, 6- or 7-indolyl, 4-, 5-, 6- or 7-benzthiazolyl, 4-, 5-, 6- or 7-benzimidazolyl, 4-, 5-, 6- or 7-indazolyl, imidazo[1,2-a]pyridin-5, 6, 7 or 8-yl, pyrazolo[1,5-a]pyridin-4, 5, 6 or 7-yl, 1H-pyrrolo[2,3-b]pyridin-4, 5 or 6-yl, 1H-pyrrolo[3,2-c]pyridin-4, 6 or 7-yl, 1H-pyrrolo[2,3-c]pyridin-4, 5 or 7-yl, 1H-pyrrolo[3,2-b]pyridin-5, 6 or 7-yl,

iii) 5-, 6-, 7- or 8-isoquinolinyl, 5-, 6-, 7- or 8-quinolinyl, 5-, 6-, 7- or 8-quinoxalinyl, 5-, 6-, 7- or 8-quinazolinyl,

iv) naphthyl,

v) furanyl, oxazolyl, isoxazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, thiophenyl, thiazolyl, isothiazolyl, pyrrolyl, imidazolyl, pyrazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 3-indoxazinyl, 2-benzoxazolyl, 2- or 3-benzothiophenyl, 2- or 3-benzofuranyl, 2- or 3-indolyl, 2-benzthiazolyl, 2-benzimidazolyl, 3-indazolyl, and

vi) pyridinyl, pyridinyl-N-oxide, pyrazinyl, pyrimidinyl, pyridazinyl, 1-, 3- or 4-isoquinolinyl, 2-, 3- or 4-quinolinyl, 2- or 3-quinoxalinyl, 2- or 4-quinazolinyl,

8. The compound of claim 1 wherein $R^2$, optionally substituted with $R^q$, is selected from the group consisting of phenyl, naphthalenyl, pyridinyl, thiophenyl, benzothiophenyl, furanyl, benzofuranyl, indolyl, indolinyl, isoquinolinyl and quinolinyl.

9. The compound of claim 1 wherein $R^2$ is selected from the group consisting of 4-methyl-phenyl, 2-chloro-phenyl, 3-chloro-phenyl, 4-chloro-phenyl, 3,4-dichloro-phenyl, benzo[1,3]dioxol-5-yl, 2,3-diydro benzo[1,4]dioxin-6-yl, 4-methoxy-phenyl, phenyl, 4-phenoxy-phenyl, naphthalen-2-yl, pyridin-3-yl, 2-chloro-pyridin-3-yl, pyridin-4-ylmethyl, 4-benzyloxy-phenyl, 4-dimethylamino-phenyl, 4-bromo-3-methyl-phenyl, 3-methoxy-4-methyl-phenyl, 3-cyclopentyloxy-4-methoxy-phenyl, 4-bromo-2-chloro-phenyl, 4-bromo-phenyl, 3-dimethylamino-phenyl, 4-morpholin-1-yl-phenyl, 4-pyrrolidin-1-yl-phenyl, 4-(N-propylamino)-phenyl, 4-(N-isobutylamino)-phenyl, 4-diethylamino-phenyl, 4-(N-allylamino)-phenyl, 4-(N-isopropylamino)-phenyl, 4-(N-methyl-N-propylamino)-phenyl, 4-(N-methyl-N-isopropylamino)-phenyl, 4-(N-methyl-N-ethylamino)-phenyl, 4-amino-phenyl, 4-(N-methyl-N-propylamino)-2-chloro-phenyl, 4-(N-ethyl-N-methylamino)-2-chloro-phenyl, 4-(pyrrolidin-1-yl)-2-chloro-phenyl, 4-azetidinyl-phenyl, 4-(pyrrolidin-2-one-1-yl)-phenyl, 4-bromo-3-methyl-phenyl, 4-chloro-3-methyl-phenyl, 1-methyl-5-indolinyl, 5-indolinyl, 5-isoquinolinyl, 6-quinolinyl, benzo[1,3]diox-5-yl and 7-methoxy-benzofuran-2-yl.

9. The compound of claim 1 wherein $R^q$ is selected from the group consisting of –OH, $-CH_3$, $-CH_2CH_3$, i-propyl, t-butyl, $-OCH_3$, $-OCH_2CH_3$, $-OCH(CH_3)_2$, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, -Ocyclopentyl,

-Ocyclohexyl, phenyl, -Ophenyl, benzyl, -Obenzyl, -CN, $-NO_2$, $-C(O)NH_2$, $-C(O)N(CH_3)_2$, $-C(O)NH(CH_3)$, -NH(CO)H, $-NHCOCH_3$, $-NCH_3(CO)H$, $-NCH_3COCH_3$, $-NHSO_2CH_3$, $-NCH_3SO_2CH_3$, $-C(O)CH_3$, $-SOCH_3$, $-SO_2CH_3$, $-SO_2NH_2$, $-SO_2NHCH_3$, $-SO_2N(CH_3)_2$, $-SCF_3$ -F, -Cl, -Br, I, $-CF_3$, $-OCF_3$, -COOH, $-COOCH_3$, $-COOCH_2CH_3$, $-NH_2$, $-NHCH_3$, $-NHCH_2CH_3$, $-NH(CH_2CH_2CH_3)$, $-NH(CH(CH_3)CH_2CH_3)$, -NH(allyl), $-NH(CH_2(CH_3)_2)$, $-N(CH_3)_2$, $-N(CH_2CH_3)_2$, $-NCH_3(CH_2CH_2CH_3)$, $-NCH_3(CH_2CH_3)$, $-NCH_3(CH(CH_3)_2)$, pyrrolidin-2-one-1-yl, azetidinyl, piperidin-1-yl, 2- or 3-pyrrolin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, piperazin-1-yl, pyrrolidin-1-yl, homopiperidin-1-yl.

10. The compound of claim 1 wherein $R^q$ is selected from the group consisting of methyl, bromo, chloro, methoxy, cyclopentyloxy, phenoxy, benzyloxy, pyrrolidinyl, N-methyl-N-ethylamino and dimethylamino.

11. The compound of claim 1 wherein there are 0, 1 or 2 $R^q$ substituents.

12. The compound of claim 1 wherein $R^3$ is selected from the group consisting of –H, -F, Cl, Br and $-CH_3$.

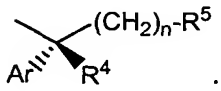
13. The compound of claim 1 wherein $R^3$ is H.

14. The compound of claim 1 wherein n is 0, or 1.

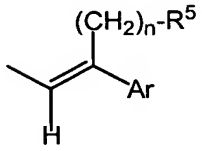
15. The compound of claim 1 wherein $R^4$ is selected from the group consisting of –H, -F and $-CH_3$.

16. The compound of claim 1 wherein $R^4$ is H.

17. The compound of claim 1 wherein the Ar attached carbon is saturated and has the configuration



18. The compound of claim 1 wherein the Ar attached carbon is unsaturated and has the configuration




19. The compound of claim 1 wherein Ar, optionally substituted with $R^r$, is selected from the group consisting of:

A) phenyl, 5-, 6-, 7-, 8-benzo-1,4-dioxanyl, 4-, 5-, 6-, 7-benzo-1,3-dioxolyl, 4-, 5-, 6-, 7-indolinyl, 4-, 5-, 6-, 7-isoindolinyl, 1,2,3,4-tetrahydro-quinolin-4, 5, 6 or 7-yl, 1,2,3,4-tetrahydro-isoquinolin-4, 5, 6 or 7-yl,

B) 4-, 5-, 6- or 7-benzoxazolyl, 4-, 5-, 6- or 7-benzothiophenyl, 4-, 5-, 6- or 7-benzofuranyl, 4-, 5-, 6- or 7-indolyl, 4-, 5-, 6- or 7-benzthiazolyl, 4-, 5-, 6- or 7-benzimidazolyl, 4-, 5-, 6- or 7-indazolyl, imidazo[1,2-a]pyridin-5, 6, 7 or 8-yl, pyrazolo[1,5-a]pyridin-4, 5, 6 or 7-yl, 1H-pyrrolo[2,3-b]pyridin-4, 5 or 6-yl, 1H-pyrrolo[3,2-c]pyridin-4, 6 or 7-yl, 1H-pyrrolo[2,3-c]pyridin-4, 5 or 7-yl, 1H-pyrrolo[3,2-b]pyridin-5, 6 or 7-yl,

C) 5-, 6-, 7- or 8-isoquinolinyl, 5-, 6-, 7- or 8-quinolinyl, 5-, 6-, 7- or 8-quinoxalinyl, 5-, 6-, 7- or 8-quinazolinyl,

D) naphthyl,

E) furanyl, oxazolyl, isoxazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, thiophenyl, thiazolyl, isothiazolyl, pyrrolyl, imidazolyl, pyrazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 3-indoxazinyl, 2-benzoxazolyl, 2- or 3-benzothiophenyl, 2- or 3-benzofuranyl, 2- or 3-indolyl, 2-benzthiazolyl, 2-benzimidazolyl, 3-indazolyl, and

F) pyridinyl, pyridinyl-N-oxide, pyrazinyl, pyrimidinyl, pyridazinyl, 1-, 3- or 4-isoquinolinyl, 2-, 3- or 4-quinolinyl, 2- or 3-quinoxalinyl, 2- or 4-quinazolinyl.

20. The compound of claim 1 wherein Ar, optionally substituted with $R^r$, is selected from the group consisting of phenyl, naphthalenyl, benzofuran-3-yl, 4, 5, 6 or 7-benzothiophenyl, 4, 5, 6 or 7-benzo[1,3]dioxolyl, 8-quinolinyl, 2-indolyl, 3-indolyl and pyridinyl.

21. The compound of claim 1 wherein Ar are selected from the group consisting of phenyl, 2-methyl-phenyl, 3-methyl-phenyl, 4-methyl-phenyl, 2,5-dimethyl-phenyl, 2-trifluoromethyl-phenyl, 3-trifluoromethyl-phenyl, 2-fluoro-3-trifluoromethyl-phenyl, 2-fluoro-phenyl, 2,3-difluoro-phenyl, 2-chloro-phenyl, 3-chloro-phenyl, 4-chloro-phenyl, 2,3-dicloro-phenyl, 3,4-dichlorophenyl, 2,6-dichlorophenyl, 3-iodo-phenyl, 2-chloro-4-fluoro-phenyl, benzofuran-3-yl, 2-methoxy-phenyl, 3-methoxy-phenyl, 4-methoxy-phenyl, 2,3-dimethoxy-phenyl, 3-trifluoromethoxy-phenyl, 4-trifluoromethoxy-phenyl, 3-ethoxy-phenyl, 3-trifluoromethylsulfanyl-phenyl, naphthalen-1-yl, naphthalen-2-yl, benzo[b]thiophen-4-yl, 3-nitro-phenyl, benzo[1,3]dioxol-5-yl, pyridin-3-yl and pyridin-4-yl, 3-indolyl, 1-methyl-indol-3-yl, 4-biphenyl, 3,5-dimethyl-phenyl, 3-isopropoxy-phenyl, 3-dimethylamino-phenyl, 2-flouro-5-methyl-phenyl, 2-methyl-3-triflouromethyl-phenyl.

22. The compound of claim 1 wherein there are 0, 1 or 2 $R^r$ substituents.

23. The compound of claim 1 wherein $R^r$ is selected from the group consisting of –OH, $-CH_3$, $-CH_2CH_3$, -propyl, -t-butyl, $-OCH_3$, $-OCH_2CH_3$, $-OCH(CH_3)_2$, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, -Ocyclopentyl, -Ocyclohexyl, phenyl, -Ophenyl, benzyl, -Obenzyl, -CN, $-NO_2$, $-C(O)NH_2$, $-C(O)N(CH_3)_2$, $-C(O)NH(CH_3)$, -NH(CO)H, $-NHCOCH_3$, $-NCH_3(CO)H$, $-NCH_3COCH_3$, $-NHSO_2CH_3$, $-NCH_3SO_2CH_3$, $-C(O)CH_3$, $-SOCH_3$, $-SO_2CH_3$, $-SO_2NH_2$, $-SO_2NHCH_3$, $-SO_2N(CH_3)_2$, $-SCF_3$, -F, -Cl, -Br, I, $-CF_3$, $-OCF_3$, -COOH, $-COOCH_3$, $-COOCH_2CH_3$, $-NH_2$, $-NHCH_3$, $-NHCH_2CH_3$, $-NH(CH_2CH_2CH_3)$, $-NH(CH(CH_3)CH_2CH_3)$, -NH(allyl), $-NH(CH_2(CH_3)_2)$, $-N(CH_3)_2$, $-N(CH_2CH_3)_2$, $-NCH_3(CH_2CH_2CH_3)$, $-NCH_3(CH_2CH_3)$, $-NCH_3(CH(CH_3)_2)$, pyrrolin-2-one-1-yl, azetidinyl, piperidin-1-yl, 2- or 3-pyrrolin-1-yl, morpholin-4-yl, thiomorpholin-4-yl, piperazin-1-yl, pyrrolidin-1-yl, homopiperidin-1-yl.

24. The compound of claim 1 wherein $R^r$ is selected from the group consisting of methyl, methoxy, ethoxy, isopropoxy, dimethylamino, fluoro, chloro, iodo, trifluoromethyl, trifluoromethoxy, nitro, phenyl and trifluoromethylsulfanyl.

25. The compound of claim 1 wherein $R^5$ is selected from the group consisting of:

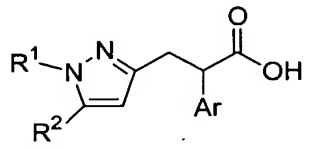
I) -COOH, -$COOCH_3$, -$COOCH_2CH_3$,

II) -$CONH(CH_3)$, -$CONH(CH_2CH_3)$, -$CONH(CH_2CH_2CH_3)$, -$CONH(CH(CH_3)_2)$, -$CONH(CH_2CH_2CH_2CH_3)$, -$CONH(CH(CH_3)CH_2CH_3)$, -$CONH(C(CH_3)_3)$, -CONH(cyclohexyl), -CONH(2-hydroxy-cyclohexyl), -$CON(CH_3)_2$, -$CONCH_3(CH_2CH_3)$, -$CONCH_3(CH_2CH_2CH_3)$, -$CONCH_3(CH(CH_3)_2)$, -$CONCH_3(CH_2CH_2CH_2CH_3)$, -$CONCH_3(CH(CH_3)CH_2CH_3)$, -$CONCH_3(C(CH_3)_3)$, -$CON(CH_2CH_3)_2$, -CO-piperidin-1-yl, -CO-morpholin-4-yl, -CO-piperazin-1-yl, -CO-imidazolidin-1-yl, -CO-pyrrolidin-1-yl, -CO-2-pyrrolin-1-yl, -CO-3-pyrrolin-1-yl, -CO-2-imidazolin-1-yl, -CO-piperidin-1-yl, and

III) -tetrazolyl, 1H-[1,2,4]triazol-5-ylsulfinyl, 1H-[1,2,4]triazol-5-ylsulfonyl,1H-[1,2,4]triazol-5-ylsulfanyl,

26. The compound of claim 1 wherein $R^5$ is selected from the group consisting of –COOH and tetrazol-5-yl.

27. The compound of claim 1 of the formula:




where $R^2$, $R^1$ and Ar are selected concurrently from the groups consisting of:

| EX | $R^2$ | $R^1$ | Ar |
|---|---|---|---|
| 1 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- [(*S*) enantiomer, $Na^+$ salt] |
| 2 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- |
| 3 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- [(*R*) enantiomer] |

| | | | |
|---|---|---|---|
| 4 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- [(*S*) enantiomer, TFA salt] |
| 5 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (4-Methoxy-phenyl)- |
| 6 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (3-Methoxy-phenyl)- |
| 7 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (3-Chloro-phenyl)- |
| 8 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (4-Methyl-phenyl)- |
| 9 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (4-Chloro-phenyl)- |
| 10 | (2-Chloro-phenyl)- | (4-Methoxy-phenyl)- | Naphthalen-1-yl- |
| 11 | (2-Chloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Chloro-phenyl)- |
| 12 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | Phenyl- |
| 13 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (3-Methoxy-phenyl)- |
| 15 | Phenyl- | (4-Methoxy-phenyl)- | Naphthalen-2-yl- |
| 16 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (3-Nitro-phenyl)- |
| 17 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | Benzo[1,3]dioxol-5-yl- |
| 18 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (2,3-Difluoro-phenyl)- |
| 19 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (2-Trifluoromethyl-phenyl)- |

| | | | |
|---|---|---|---|
| 20 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Ethoxy-phenyl)- |
| 21 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (2-Fluoro-3-trifluoromethyl-phenyl)- |
| 22 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (4-Trifluoromethoxy-phenyl)- |
| 23 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (3-Trifluoromethoxy-phenyl)- |
| 24 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (3-Iodo-phenyl)- |
| 25 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (3,5-Dimethyl-phenyl)- |
| 26 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (3-Trifluoromethyl-sulfanyl-phenyl)- |
| 27 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | Naphthalen-1-yl- |
| 28 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | Naphthalen-1-yl- [(*R*) enantiomer] |
| 29 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | Naphthalen-1-yl- [(*S*) enantiomer] |
| 30 | (4-Methoxy-phenyl)- | (4-Methoxy-phenyl)- | (3-Methoxy-phenyl)- |
| 31 | (4-Methoxy-phenyl)- | (4-Methoxy-phenyl)- | (3-Methoxy-phenyl)- [(*R*) enantiomer] |
| 32 | (4-Methoxy-phenyl)- | (4-Methoxy-phenyl)- | (3-Methoxy-phenyl)- [(*S*) enantiomer] |
| 33 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | Biphenyl-4-yl- |

| | | | |
|---|---|---|---|
| 34 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | (4-Methyl-phenyl)- |
| 35 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- |
| 36 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methoxy-phenyl)- |
| 37 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Chloro-phenyl)- |
| 38 | (4-Methyl-phenyl)- | (4-Chloro-phenyl)- | Naphthalen-1-yl- |
| 39 | (4-Methyl-phenyl)- | (3-Chloro-phenyl)- | (3-Chloro-phenyl)- |
| 40 | (4-Methyl-phenyl)- | (4-Methyl-phenyl)- | (3-Methyl-phenyl)- |
| 41 | (4-Methyl-phenyl)- | (4-Trifluoromethyl-phenyl)- | Phenyl- |
| 42 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (3-Methoxy-phenyl)- |
| 43 | (4-Methyl-phenyl)- | Benzyl- | (2-Chloro-phenyl)- |
| 44 | (4-Methyl-phenyl)- | Benzyl- | (3-Trifluoromethyl-phenyl)- |
| 45 | (4-Methyl-phenyl)- | Benzyl- | Naphthalen-2-yl- |
| 46 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (2,3-Dichloro-phenyl)- |
| 142 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (2-Methyl-phenyl)- |
| 143 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (2-Fluoro-phenyl)- |

| | | | |
|---|---|---|---|
| 144 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (2,6-Dichloro-phenyl)- |
| 145 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (3-Methoxy-phenyl)- |
| 146 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (2,3-Dimethoxy-phenyl)- |
| 147 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (2-Chloro-phenyl)- |
| 148 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- |
| 149 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (3,4-Dichloro-phenyl)- |
| 150 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | Phenyl- |
| 151 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | Naphthalen-1-yl- [(*R*) enantiomer] |
| 152 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | Naphthalen-1-yl- [(*S*) enantiomer] |
| 153 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | Benzo[b]thiophen-4-yl- |
| 154 | (4-Methyl-phenyl)- | (4-Chloro-phenyl)- | (3-Chloro-phenyl)- |
| 155 | (4-Methyl-phenyl)- | (4-Chloro-phenyl)- | (3-Methyl-phenyl)- |
| 156 | (4-Methyl-phenyl)- | (4-Chloro-phenyl)- | Phenyl- |
| 157 | (4-Methyl-phenyl)- | (4-Chloro-phenyl)- | (3-Methoxy-phenyl)- |
| 158 | (4-Methyl-phenyl)- | (4-Chloro-phenyl)- | (2-Chloro-phenyl)- |

| | | | |
|---|---|---|---|
| 159 | (4-Methyl-phenyl)- | (4-Chloro-phenyl)- | (3-Trifluoromethyl-phenyl)- |
| 160 | (4-Methyl-phenyl)- | (4-Chloro-phenyl)- | Naphthalen-2-yl- |
| 161 | (4-Methyl-phenyl)- | (3-Chloro-phenyl)- | Naphthalen-1-yl- |
| 162 | (4-Methyl-phenyl)- | (3-Chloro-phenyl)- | Phenyl- |
| 163 | (4-Methyl-phenyl)- | (3-Chloro-phenyl)- | (3-Methoxy-phenyl)- |
| 164 | (4-Methyl-phenyl)- | (3-Chloro-phenyl)- | (2-Chloro-phenyl)- |
| 165 | (4-Methyl-phenyl)- | (3-Chloro-phenyl)- | (3-Trifluoromethyl-phenyl)- |
| 166 | (4-Methyl-phenyl)- | (3-Chloro-phenyl)- | Naphthalen-2-yl- |
| 167 | (4-Methyl-phenyl)- | (4-Methyl-phenyl)- | Naphthalen-1-yl- |
| 168 | (4-Methyl-phenyl)- | (4-Methyl-phenyl)- | (3-Chloro-phenyl)- |
| 169 | (4-Methyl-phenyl)- | (4-Methyl-phenyl)- | Phenyl- |
| 170 | (4-Methyl-phenyl)- | (4-Methyl-phenyl)- | (3-Methoxy-phenyl)- |
| 171 | (4-Methyl-phenyl)- | (4-Methyl-phenyl)- | (2-Chloro-phenyl)- |
| 172 | (4-Methyl-phenyl)- | (4-Methyl-phenyl)- | (3-Trifluoromethyl-phenyl)- |
| 173 | (4-Methyl-phenyl)- | (4-Methyl-phenyl)- | Naphthalen-2-yl- |

| | | | |
|---|---|---|---|
| 174 | (4-Methyl-phenyl)- | (4-Trifluoromethyl-phenyl)- | Naphthalen-1-yl- |
| 175 | (4-Methyl-phenyl)- | (4-Trifluoromethyl-phenyl)- | (3-Chloro-phenyl)- |
| 176 | (4-Methyl-phenyl)- | (4-Trifluoromethyl-phenyl)- | (3-Methyl-phenyl)- |
| 177 | (4-Methyl-phenyl)- | (4-Trifluoromethyl-phenyl)- | (3-Methoxy-phenyl)- |
| 178 | (4-Methyl-phenyl)- | (4-Trifluoromethyl-phenyl)- | (2-Chloro-phenyl)- |
| 179 | (4-Methyl-phenyl)- | (4-Trifluoromethyl-phenyl)- | (3-Trifluoromethyl-phenyl)- |
| 180 | (4-Methyl-phenyl)- | (4-Trifluoromethyl-phenyl)- | Naphthalen-2-yl- |
| 181 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | Naphthalen-1-yl- |
| 182 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (3-Chloro-phenyl)- |
| 183 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (3-Methyl-phenyl)- |
| 184 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | Phenyl- |
| 185 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (2-Chloro-phenyl)- |
| 186 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (3-Trifluoromethyl-phenyl)- |

| | | | |
|---|---|---|---|
| 187 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | Naphthalen-2-yl- |
| 188 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (3-Nitro-phenyl)- |
| 189 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | Benzo[1,3]dioxol-5-yl- |
| 190 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | Benzo[b]thiophen-4-yl- |
| 191 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (2,3-Difluoro-phenyl)- |
| 192 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (2-Trifluoromethyl-phenyl)- |
| 193 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (4-Trifluoromethoxy-phenyl)- |
| 194 | (4-Methyl-phenyl)- | (3,4-Dichloro-phenyl)- | (3-Trifluoromethoxy-phenyl)- |
| 195 | (4-Methyl-phenyl)- | Benzyl- | Naphthalen-1-yl- |
| 196 | (4-Methyl-phenyl)- | Benzyl- | (3-Chloro-phenyl)- |
| 197 | (4-Methyl-phenyl)- | Benzyl- | (3-Methyl-phenyl)- |
| 198 | (4-Methyl-phenyl)- | Benzyl- | Phenyl- |
| 199 | (4-Methyl-phenyl)- | Benzyl- | (3-Methoxy-phenyl)- |
| 200 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | (2-Chloro-4-fluoro-phenyl)- |
| 201 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | (2-Chloro-phenyl)- |

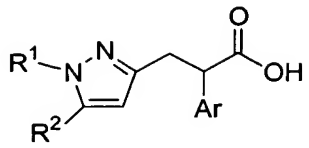
| | | | |
|---|---|---|---|
| 202 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | (2,6-Dichloro-phenyl)- |
| 203 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | (2-Methoxy-phenyl)- |
| 204 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | Phenyl- |
| 205 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | (2-Methyl-phenyl)- |
| 206 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | (2-Fluoro-phenyl)- |
| 207 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | Naphthalen-1-yl- |
| 208 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | Pyridin-3-yl- |
| 209 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Chloro-phenyl)- |
| 210 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | Naphthalen-1-yl- |
| 211 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methoxy-phenyl)- |
| 212 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | Naphthalen-2-yl- |
| 213 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Nitro-phenyl)- |
| 214 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | Benzo[1,3]dioxol-5-yl- |
| 215 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (2-Fluoro-3-trifluoromethyl-phenyl)- |
| 216 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (4-Trifluoromethoxy-phenyl)- |

| | | | |
|---|---|---|---|
| 217 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Iodo-phenyl)- |
| 218 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3,5-Dimethyl-phenyl)- |
| 219 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (2,3-Dichloro-phenyl)- |
| 220 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- |
| 221 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (3-Chloro-phenyl)- |
| 222 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | Phenyl- |
| 223 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | Naphthalen-2-yl- |
| 224 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (3-Nitro-phenyl)- |
| 225 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (2,3-Difluoro-phenyl)- |
| 226 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (2-Trifluoromethyl-phenyl)- |
| 227 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (3-Ethoxy-phenyl)- |
| 228 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (2-Fluoro-3-trifluoromethyl-phenyl)- |
| 229 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (4-Trifluoromethoxy-phenyl)- |
| 230 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (3-Trifluoromethyl-sulfanyl-phenyl)- |
| 231 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (3-Iodo-phenyl)- |

| | | | |
|---|---|---|---|
| 232 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (3,5-Dimethyl-phenyl)- |
| 233 | Benzo[1,3]dioxol-5-yl- | (4-Methoxy-phenyl)- | (2,3-Dichloro-phenyl)- |
| 234 | (4-Methoxy-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- |
| 235 | (4-Methoxy-phenyl)- | (4-Methoxy-phenyl)- | (3-Chloro-phenyl)- |
| 236 | (4-Methoxy-phenyl)- | (4-Methoxy-phenyl)- | Naphthalen-1-yl- |
| 237 | (4-Methoxy-phenyl)- | (4-Methoxy-phenyl)- | Naphthalen-2-yl- |
| 238 | Phenyl- | (4-Methoxy-phenyl)- | (3-Chloro-phenyl)- |
| 239 | Phenyl- | (4-Methoxy-phenyl)- | Naphthalen-1-yl- |
| 240 | Phenyl- | (4-Methoxy-phenyl)- | (3-Methoxy-phenyl)- |
| 241 | Phenyl- | (4-Methoxy-phenyl)- | Phenyl- |
| 242 | (2-Chloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methoxy-phenyl)- |
| 243 | (2-Chloro-phenyl)- | (4-Methoxy-phenyl)- | Phenyl- |
| 244 | (2-Chloro-phenyl)- | (4-Methoxy-phenyl)- | Naphthalen-2-yl- |
| 245 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- |
| 246 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (3-Chloro-phenyl)- |

| | | | |
|---|---|---|---|
| 247 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | Naphthalen-1-yl- |
| 248 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (3-Methoxy-phenyl)- |
| 249 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | Phenyl- |
| 250 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | Naphthalen-2-yl- |
| 251 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | Benzo[1,3]dioxol-5-yl- |
| 252 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (2,3-Difluoro-phenyl)- |
| 253 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (2-Trifluoromethyl-phenyl)- |
| 254 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (3-Ethoxy-phenyl)- |
| 255 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (2-Fluoro-3-trifluoromethyl-phenyl)- |
| 256 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (3-Trifluoromethoxy-phenyl)- |
| 257 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (3-Trifluoromethyl-sulfanyl-phenyl)- |
| 258 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (3-Iodo-phenyl)- |
| 259 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (3,5-Dimethyl-phenyl)- |
| 260 | (4-Phenoxy-phenyl)- | (4-Methoxy-phenyl)- | (2,3-Dichloro-phenyl)- |

28. The compound of claim 1 of the formula:

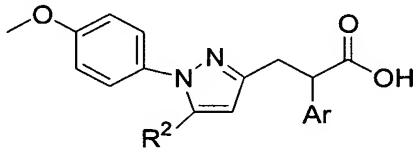


where $R^2$, $R^1$ and Ar are selected concurrently from the groups consisting of:

| EX | $R^2$ | $R^1$ | Ar |
|---|---|---|---|
| 77 | (4-Bromo-phenyl)- | (4-Methyl-phenyl)- | (3-Methyl-phenyl)- |
| 85 | (4-Bromo-2-chloro-phenyl)- | (4-Methyl-phenyl)- | (3-Methyl-phenyl)- |
| 106 | Quinolin-6-yl- | (4-Methyl-phenyl)- | (3-Methyl-phenyl)- |
| 126 | (3,4-Dichloro-phenyl)- | (4-Ethoxy-phenyl)- | (3-Chloro-phenyl)- |
| 127 | Naphthalen-2-yl- | (2,5-Dichloro-phenyl)- | (3-Chloro-phenyl)- |
| 128 | Naphthalen-2-yl- | (4-Ethoxy-phenyl)- | (3-Chloro-phenyl)- |
| 319 | Benzo[1,3]dioxol-5-yl- | (4-Methyl-phenyl)- | (3-Methyl-phenyl)- |
| 320 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | 3-Isopropoxy- |
| 321 | Naphthalen-2-yl- | Benzyl- | (3-Methyl-phenyl)- |
| 322 | Benzo[1,3]dioxol-5-yl- | Benzyl | (3-Methyl-phenyl)- |
| 323 | (3,4-Dichloro-phenyl)- | (2,4-Dichloro-phenyl)- | (2,5-Dimethyl-phenyl)- |
| 324 | (3,4-Dichloro-phenyl)- | (2,4-Dichloro-phenyl)- | (3-Chloro-phenyl)- |

| | | | |
|---|---|---|---|
| 325 | (3,4-Dichloro-phenyl)- | (2,4-Dichloro-phenyl)- | (3-Isoproxy-phenyl)- |
| 326 | (3,4-Dichloro-phenyl)- | (2,4-Dichloro-phenyl)- | (2-Fluoro-5-methyl-phenyl)- |
| 327 | (3,4-Dichloro-phenyl)- | (2,4-Dichloro-phenyl)- | (2-Methyl-3-trifluoromethyl-phenyl)- |
| 328 | (3,4-Dichloro-phenyl)- | (4-Hydroxy-phenyl)- | (3-Methyl-phenyl)- [(*S*) enantiomer] |
| 329 | (3,4-Dichloro-phenyl)- | (4-Ethoxy-phenyl)- | (3-Methyl-phenyl)- |
| 330 | Naphthalen-2-yl- | (4-Ethoxy-phenyl)- | (3-Chloro-phenyl)- |
| 331 | (3,4-Dichloro-phenyl)- | (4-Ethoxy-phenyl)- | (3-Chloro-phenyl)- |
| 332 | (3,4-Dichloro-phenyl)- | (2,5-Dichloro-phenyl)- | (3-Chloro-phenyl)- |
| 333 | (4-Chloro-phenyl)- | (4-Methoxy-phenyl)- | (4-Chloro-phenyl)- |
| 334 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Trifluoromethylsulfanyl-phenyl)- |

29. The compound of claim 1 of the formula:

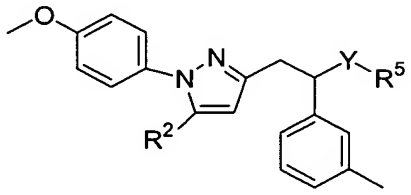



where $R^2$ and Ar are selected concurrently from the groups consisting of:

| EX | $R^2$ | Ar |
|---|---|---|
| 14 | (4-Methoxy-phenyl)- | Benzofuran-3-yl- |

| | | |
|---|---|---|
| 71 | (4-Methyl-phenyl)- | (1*H*-indol-3-yl)- |
| 72 | (4-Methyl-phenyl)- | (1-Methyl-1*H*-indol-3-yl)- |
| 261 | (3,4-Dichloro-phenyl)- | Benzofuran-3-yl- |
| 262 | Benzo[1,3]dioxol-5-yl- | Benzofuran-3-yl- |
| 263 | Phenyl- | Benzofuran-3-yl- |
| 264 | (2-Chloro-phenyl)- | Benzofuran-3-yl- |
| 265 | (4-Phenoxy-phenyl)- | Benzofuran-3-yl- |

30. The compound of claim 1 of the formula:

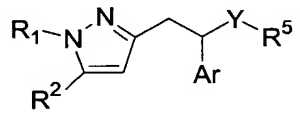



where $R^2$ and $R^5$-Y- are selected concurrently from the groups consisting of:

| EX | $R^2$ | $R^5$-Y- |
|---|---|---|
| 64 | (4-Methyl-phenyl)- | (2-Hydroxy-cyclohexyl-carbamoyl)- |
| 65 | (4-Methyl-phenyl)- | Carbamoyl- |
| 66 | (4-Methyl-phenyl)- | (Dimethyl-carbamoyl)- |
| 67 | (4-Methyl-phenyl)- | (Methyl-carbamoyl)- |

| | | |
|---|---|---|
| 68 | (4-Methyl-phenyl)- | (4-Methyl-piperazine-1-carbonyl)- |

31. The compound of claim 1 of the formula:

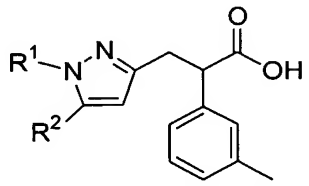


where $R^2$ and $R^5$-Y- are selected concurrently from the groups consisting of:

| EX | $R^2$ | $R^1$ | Ar | $R^5$-Y- |
|---|---|---|---|---|
| 74 | (4-Methyl-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- | (1*H*-Tetrazol-5-yl)- |
| 129 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- | (1*H*-Tetrazol-5-yl)- [(*S*) enantiomer] |
| 130 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- | (1*H*-Tetrazol-5-yl)- [racemic] |
| 131 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- | (1*H*-Tetrazol-5-yl)- [(*R*) enantiomer] |
| 132 | Benzo[1,3]dioxol-5-yl- | (2,5-Dichloro-phenyl)- | (3-chloro-phenyl)- | (1*H*-Tetrazol-5-yl)- |
| 135 | 3,4-Dichloro-phenyl- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- | (2*H*-[1,2,4]Triazol-3-ylsulfanylmethyl)- |
| 136 | (4-Methyl-phenyl)- | (4-Methyl-phenyl)- | (3-Methyl-phenyl)- | (2*H*-[1,2,4]Triazole-3-sulfinylmethyl)- |
| 137 | (4-Methyl-phenyl)- | (4-Methyl-phenyl)- | (3-Methyl-phenyl)- | (2*H*-[1,2,4]Triazole-3-sulfonylmethyl)- |

| | | | | |
|---|---|---|---|---|
| 138 | 3,4-Dichloro-phenyl- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- | (2*H*-[1,2,4]Triazole-3-sulfonylmethyl)- [(*S*) enantiomer] |
| 335 | (4-Methyl-phenyl)- | (4-Methyl-phenyl)- | (3-Methyl-phenyl)- | (2*H*-[1,2,4]Triazol-3-ylsulfanylmethyl)- |

32. The compound of claim 1 of the formula:



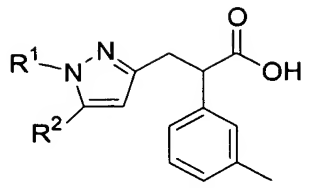
where $R^2$ and $R^1$ are selected concurrently from the groups consisting of:

| EX | $R^2$ | $R^1$ |
|---|---|---|
| 53 | (4-Phenoxy-phenyl)- | (4-*tert*-Butyl-phenyl)- |
| 54 | (3,4-Dichloro-phenyl)- | (4-Methanesulfonyl-phenyl)- |
| 55 | Benzo[1,3]dioxol-5-yl- | (2-Chloro-phenyl)- |
| 57 | (3-Chloro-phenyl)- | (2,4-Dichloro-phenyl)- |
| 58 | (4-Benzyloxy-phenyl)- | (4-Trifluoromethoxy-phenyl)- |
| 59 | (4-Dimethylamino-phenyl)- | (4-Methyl-phenyl)- |
| 60 | (3-Methoxy-4-methyl-phenyl)- | (4-Methyl-phenyl)- |
| 61 | (3-Cyclopentyloxy-4-methoxy-phenyl)- | (4-Methyl-phenyl)- |

| | | |
|---|---|---|
| 62 | (4-Bromo-3-methyl-phenyl)- | (4-Phenoxy-phenyl)- |
| 266 | Naphthalen-2-yl- | (2,4-Dichloro-phenyl)- |
| 267 | Naphthalen-2-yl- | (2-Chloro-phenyl)- |
| 268 | Naphthalen-2-yl- | (4-Methanesulfonyl-phenyl)- |
| 269 | Naphthalen-2-yl- | (4-*tert*-Butyl-phenyl)- |
| 270 | Naphthalen-2-yl- | (4-Trifluoromethoxy-phenyl)- |
| 271 | Naphthalen-2-yl- | (4-Methyl-phenyl)- |
| 272 | Naphthalen-2-yl- | (4-Phenoxy-phenyl)- |
| 273 | (3,4-Dichloro-phenyl)- | (2,4-Dichloro-phenyl)- |
| 274 | (3,4-Dichloro-phenyl)- | (2-Chloro-phenyl)- |
| 275 | (3,4-Dichloro-phenyl)- | (4-*tert*-Butyl-phenyl)- |
| 276 | Benzo[1,3]dioxol-5-yl- | (2,4-Dichloro-phenyl)- |
| 277 | Benzo[1,3]dioxol-5-yl- | (4-Methanesulfonyl-phenyl)- |
| 278 | Benzo[1,3]dioxol-5-yl- | (4-*tert*-Butyl-phenyl)- |
| 279 | (3-Chloro-phenyl)- | (2-Chloro-phenyl)- |

| | | |
|---|---|---|
| 280 | (3-Chloro-phenyl)- | (4-Methanesulfonyl-phenyl)- |
| 281 | (3-Chloro-phenyl)- | (4-*tert*-Butyl-phenyl)- |
| 282 | (4-Phenoxy-phenyl)- | (2,4-Dichloro-phenyl)- |
| 283 | (4-Phenoxy-phenyl)- | (2-Chloro-phenyl)- |
| 284 | (4-Phenoxy-phenyl)- | (4-Methanesulfonyl-phenyl)- |
| 285 | (4-Benzyloxy-phenyl)- | (4-Methyl-phenyl)- |
| 286 | (4-Benzyloxy-phenyl)- | (4-Phenoxy-phenyl)- |
| 287 | (4-Dimethylamino-phenyl)- | (4-Trifluoromethoxy-phenyl)- |
| 288 | (4-Dimethylamino-phenyl)- | (4-Phenoxy-phenyl)- |
| 289 | (4-Bromo-3-methyl-phenyl)- | (4-Methyl-phenyl)- |
| 290 | (3-Methoxy-4-methyl-phenyl)- | (4-Trifluoromethoxy-phenyl)- |
| 291 | (3-Methoxy-4-methyl-phenyl)- | (4-Phenoxy-phenyl)- |
| 292 | (3-Cyclopentyloxy-4-methoxy-phenyl)- | (4-Trifluoromethoxy-phenyl)- |
| 293 | (3-Cyclopentyloxy-4-methoxy-phenyl)- | (4-Phenoxy-phenyl)- |
| 294 | (4-Chloro-3-methyl-phenyl)- | (4-Isopropyl-phenyl)- |

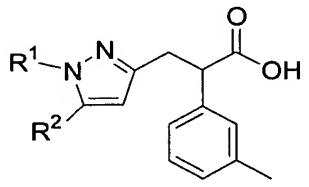
33. The compound of claim 1 of the formula:



where $R^2$ and $R^1$ are selected concurrently from the groups consisting of:

| EX | $R^2$ | $R^1$ |
|---|---|---|
| 52 | Naphthalen-2-yl- | Pyridin-2-yl- |
| 56 | Pyridin-3-yl- | (2,4-Dichloro-phenyl)- |
| 295 | (3,4-Dichloro-phenyl)- | Pyridin-2-yl- |
| 296 | Benzo[1,3]dioxol-5-yl- | Pyridin-2-yl- |
| 297 | (3-Chloro-phenyl)- | Pyridin-2-yl- |
| 298 | (4-Phenoxy-phenyl)- | Pyridin-2-yl- |
| 299 | Pyridin-3-yl- | (4-*tert*-Butyl-phenyl)- |

34. The compound of claim 1 of the formula:

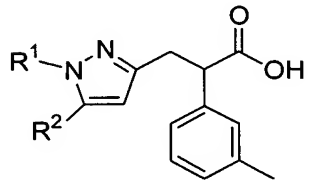


where $R^2$ and $R^1$ are selected concurrently from the groups consisting of:

| EX | $R^2$ | $R^1$ |
|---|---|---|
| 78 | (4-Dimethylamino-phenyl)- | Pyridin-2-yl- |

| | | |
|---|---|---|
| 80 | Naphthalen-2-yl- | (5-Trifluoromethyl-pyridin-2-yl)- |
| 81 | (2-Chloro-pyridin-3-yl)- | (2,4-Dichloro-phenyl)- |
| 89 | Naphthalen-2-yl- | Pyridin-4-ylmethyl- |
| 92 | Naphthalen-2-yl- | Pyridin-2-yl- [(*S*) enantiomer] |
| 93 | Naphthalen-2-yl- | Pyridin-2-yl- [(*R*) enantiomer] |
| 105 | Naphthalen-2-yl- | (1-Oxy-pyridin-2-yl)- |
| 337 | (3,4-Dichloro-phenyl)- | (5-Trifluoromethyl-pyridin-2-yl)- |

35. The compound of claim 1 of the formula:

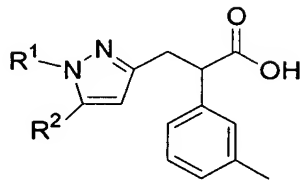



where $R^2$ and $R^1$ are selected concurrently from the groups consisting of:

| EX | $R^2$ | $R^1$ |
|---|---|---|
| 47 | Naphthalen-2-yl- | H- |
| 49 | (3,4-Dichloro-phenyl)- | Methyl |
| 51 | Naphthalen-2-yl- | Cyclohexyl- |
| 300 | (3,4-Dichloro-phenyl)- | Cyclohexyl- |

| | | |
|---|---|---|
| 301 | Benzo[1,3]dioxol-5-yl- | Cyclohexyl- |
| 302 | (3-Chloro-phenyl)- | H- |
| 303 | (3-Chloro-phenyl)- | Methyl |
| 304 | (3-Chloro-phenyl)- | Cyclohexyl- |
| 305 | (4-Phenoxy-phenyl)- | H- |
| 306 | (4-Phenoxy-phenyl)- | Cyclohexyl- |
| 307 | (4-Dimethylamino-phenyl)- | Cyclohexyl- |
| 308 | (4-Bromo-3-methyl-phenyl)- | Cyclohexyl- |
| 309 | (3-Cyclopentyloxy-4-methoxy-phenyl)- | Cyclohexyl- |
| 338 | (3,4-Dichloro-phenyl)- | H- |

36. The compound of claim 1 of the formula:

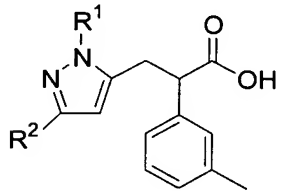



where $R^2$ and $R^1$ are selected concurrently from the groups consisting of:

| EX | $R^2$ | $R^1$ |
|---|---|---|
| 63 | (7-Methoxy-benzofuran-2-yl)- | (4-Phenoxy-phenyl)- |

| | | |
|---|---|---|
| 310 | (7-Methoxy-benzofuran-2-yl)- | (4-Trifluoromethoxy-phenyl)- |
| 311 | (7-Methoxy-benzofuran-2-yl)- | (4-Methyl-phenyl)- |
| 312 | (7-Methoxy-benzofuran-2-yl)- | Cyclohexyl- |

37. The compound of claim 1 of the formula:

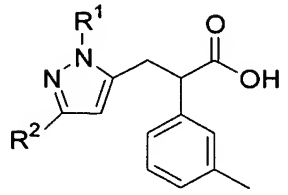


where $R^2$ and $R^1$ are selected concurrently from the groups consisting of:

| EX | $R^2$ | $R^1$ |
|---|---|---|
| 48 | (3,4-Dichloro-phenyl)- | Methyl |
| 50 | Naphthalen-2-yl- | Cyclohexyl- |
| 313 | (4-Bromo-3-methyl-phenyl)- | Cyclohexyl- |
| 314 | (3,4-Dichloro-phenyl)- | Cyclohexyl- |
| 315 | Benzo[1,3]dioxol-5-yl- | Cyclohexyl- |
| 316 | (3-Chloro-phenyl)- | Methyl |
| 317 | (3-Chloro-phenyl)- | Cyclohexyl- |
| 318 | (4-Phenoxy-phenyl)- | Cyclohexyl- |

5

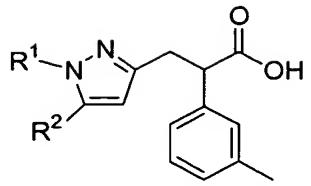
38. The compound of claim 1 of the formula:



where $R^2$ and $R^1$ are selected concurrently from the groups consisting of:

| EX | $R^2$ | $R^1$ |
|---|---|---|
| 79 | Naphthalen-1-yl | Pyridin-2-yl |
| 82 | Benzo[1,3]dioxol-5-yl- | Cyclohexylmethyl- |
| 83 | Naphthalen-2-yl- | Benzyl- |
| 84 | (4-Dimethylamino-phenyl)- | Benzyl- |
| 88 | Naphthalen-2-yl- | Pyridin-4-ylmethyl- |
| 90 | (3-Dimethylamino-phenyl)- | (4-Methyl-phenyl)- |
| 339 | (4-Dimethylamino-phenyl)- | (4-Methanesulfonyl-phenyl)- |
| 340 | Benzo[1,3]dioxol-5-yl- | Benzyl- |
| 341 | (3-Dimethylamino-phenyl)- | (2,5-Dimethyl-phenyl)- |
| 342 | (3-Dimethylamino-phenyl)- | (4-Methoxy-phenyl)- |

39. The compound of claim 1 of the formula:



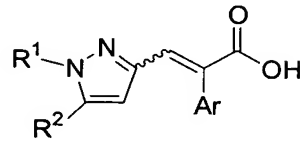
where $R^2$ and $R^1$ are selected concurrently from the groups consisting of:

| EX | $R^2$ | $R^1$ |
|---|---|---|
| 86 | (4-Dimethylamino-phenyl)- | (4-Methyl-phenyl)- |
| 87 | (1-Methyl-2,3-dihydro-1*H*-indol-5-yl)- | (4-Methyl-phenyl)- |
| 91 | (3-Dimethylamino-phenyl)- | (4-Methyl-phenyl)- |
| 94 | (4-Allylamino-phenyl)- | (4-Methyl-phenyl)- |
| 95 | (2-Chloro-4-pyrrolidin-1-yl-phenyl)- | (4-Methyl-phenyl)- |
| 96 | (4-Diethylamino-phenyl)- | (4-Methyl-phenyl)- |
| 97 | (4-Isobutylamino-phenyl)- | (4-Methyl-phenyl)- |
| 98 | (4-Morpholin-4-yl-phenyl)- | (4-Methyl-phenyl)- |
| 99 | [2-Chloro-4-(ethyl-methyl-amino)-phenyl]- | (4-Methyl-phenyl)- |
| 100 | [4-(Ethyl-methyl-amino)-phenyl]- | (4-Methyl-phenyl)- |
| 101 | [4-(Isopropyl-methyl-amino)-phenyl]- | (4-Methyl-phenyl)- |
| 102 | (4-Acetylamino-phenyl)- | (4-Methyl-phenyl)- |

| | | |
|---|---|---|
| 103 | [4-(Formyl-methyl-amino)-phenyl]- | (4-Methyl-phenyl)- |
| 104 | [4-(2-Oxo-pyrrolidin-1-yl)-phenyl]- | (4-Methyl-phenyl)- |
| 107 | (4-Amino-phenyl)- | (4-Methyl-phenyl)- |
| 344 | (4-Dimethylamino-phenyl)- | Cyclohexylmethyl- |
| 345 | (4-Dimethylamino-phenyl)- | Pyridin-4-ylmethyl- |
| 346 | (4-Dimethylamino-phenyl)- | Benzyl- |
| 347 | (3-Dimethylamino-phenyl)- | (2,5-Dimethyl-phenyl)- |
| 348 | (3-Dimethylamino-phenyl)- | (4-Methoxy-phenyl)- |
| 349 | (4-Piperidin-1-yl-phenyl)- | (4-Methyl-phenyl)- |
| 350 | [4-(Methyl-propyl-amino)-phenyl]- | (4-Methyl-phenyl)- |
| 351 | (4-Isopropylamino-phenyl)- | (4-Methyl-phenyl)- |
| 352 | (4-Pyrrolidin-1-yl-phenyl)- | (4-Methyl-phenyl)- |
| 353 | (4-Propylamino-phenyl)- | (4-Methyl-phenyl)- |
| 354 | [2-Chloro-4-(methyl-propyl-amino)-phenyl]- | (4-Methyl-phenyl)- |
| 355 | (4-Azetidin-1-yl-phenyl)- | (4-Methyl-phenyl)- |

| | | | |
|---|---|---|---|
| 356 | [4-(Acetyl-methyl-amino)-phenyl]- | (4-Methyl-phenyl)- | |

40. The compound of claim 1 of the formula:



where $R^2$, $R^1$ and Ar are selected concurrently from the groups consisting of:

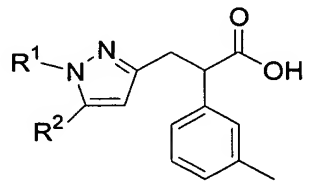
| EX | $R^2$ | $R^1$ | Ar |
|---|---|---|---|
| 75 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- [(*E*) stereoisomer] |
| 108 | (3,4-Dichloro-phenyl)- | (4-Ethoxy-phenyl)- | (3-Chloro-phenyl)- [(*Z*) stereoisomer] |
| 109 | (3,4-Dichloro-phenyl)- | (4-Ethoxy-phenyl)- | (3-Chloro-phenyl)- [(*E*) stereoisomer] |
| 110 | (3,4-Dichloro-phenyl)- | Pyridin-2-yl- | (3-Chloro-phenyl)- [(*Z*) stereoisomer] |
| 111 | (3,4-Dichloro-phenyl)- | (2,5-Dichloro-phenyl)- | (3-Chloro-phenyl)- [(*Z*) stereoisomer] |
| 112 | Naphthalen-2-yl- | (2,5-Dichloro-phenyl)- | (3-Chloro-phenyl)- [(*Z*) stereoisomer] |
| 113 | Naphthalen-2-yl- | (4-ethoxy-phenyl)- | (3-Chloro-phenyl)- [(*Z*) stereoisomer] |
| 114 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | Phenyl- [(*Z*) stereoisomer] |
| 115 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Chloro-phenyl)- [(*Z*) stereoisomer] |
| 116 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (4-Chloro-phenyl)- [(*Z*) stereoisomer] |

| | | | |
|---|---|---|---|
| 117 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (4-Methoxy-phenyl)- [(*Z*) stereoisomer] |
| 118 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3,4-Dichloro-phenyl)- [(*Z*) stereoisomer] |
| 119 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (4-Methyl-phenyl)- [(*Z*) stereoisomer] |
| 120 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- [(*Z*) stereoisomer] |
| 121 | Benzo[1,3]dioxol-5-yl- | (4-Ethoxy-phenyl)- | (3-Chloro-phenyl)- [(*Z*) stereoisomer] |
| 122 | Benzo[1,3]dioxol-5-yl- | (2,5-Dichloro-phenyl)- | (3-Chloro-phenyl)- [(*Z*) stereoisomer] |
| 123 | Benzo[1,3]dioxol-5-yl- | (2,5-Dichloro-phenyl)- | (3-Chloro-phenyl)- [(*E*) stereoisomer] |
| 124 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3,4-Dichloro-phenyl)- [(*E*) stereoisomer] |
| 125 | Benzo[1,3]dioxol-5-yl- | (4-Ethoxy-phenyl)- | (3-Chloro-phenyl)- [(*E*) stereoisomer] |
| 357 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | Phenyl- [(*E*) stereoisomer] |
| 358 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Chloro-phenyl)- [(*E*) stereoisomer] |
| 359 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (4-Chloro-phenyl)- [(*E*) stereoisomer] |
| 360 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (4-Methoxy-phenyl)- [(*E*) stereoisomer] |
| 361 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3,4-Dichloro-phenyl)- [(*E*) stereoisomer] |
| 362 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (3-Methyl-phenyl)- [(*E*) stereoisomer] |

| | | | |
|---|---|---|---|
| 363 | (3,4-Dichloro-phenyl)- | (4-Methoxy-phenyl)- | (4-Methyl-phenyl)-[(*E*) stereoisomer] |
| 364 | Benzo[1,3]dioxol-5-yl- | (4-Ethoxy-phenyl)- | (3-Chloro-phenyl)-[(*E*) stereoisomer] |

41. The compound of claim 1 selected from the group consisting of:
3-[5-(3,4-Dichloro-phenyl)-1-(4-methoxy-phenyl)-1*H*-pyrazol-3-yl]-2-methyl-2-*m*-tolyl-propionic acid;
3-[5-(3,4-Dichloro-phenyl)-1-(4-methoxy-phenyl-1*H*-pyrazol-3-yl]-2-fluoro-2-*m*-tolyl-propionic acid;
3-[5-(3,4-Dichloro-phenyl)-1-(2,4-dichloro-phenyl)-1*H*-pyrazol-3-yl]-2-(3-dimethylamino-phenyl)-propionic acid;
3-[5-(3,4-Dichloro-phenyl)-1-(2,4-dichloro-phenyl)-1*H*-pyrazol-3-yl]-2-quinolin-8-yl-propionic acid;
4-(1,5-Di-*p*-tolyl-1*H*-pyrazol-3-yl)-3-*m*-tolyl-butyric acid;
5-[5-(3,4-Dichloro-phenyl)-1-(4-methoxy-phenyl)-1*H*-pyrazol-3-yl]-4-*m*-tolyl-pentanoic acid;
5-{2-[5-(3,4-Dichloro-phenyl)-2-(4-methoxy-phenyl)-2H-pyrazol-3-yl]-1-m-tolyl-ethyl}-1H-tetrazole; and
3-[2-(4-Methoxy-phenyl)-5-p-tolyl-2H-pyrazol-3-yl]-2-naphthalen-1-yl-propionic acid.

42. The compound of claim 1 of the formula:

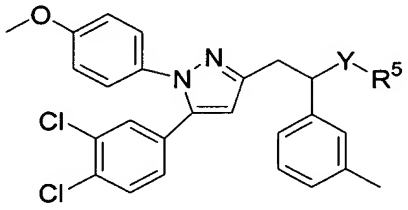



where $R^2$ and $R^1$ are selected concurrently from the groups consisting of:

| EX | $R^2$ | $R^1$ |
|---|---|---|
| 365 | Naphthalen-2-yl- | Pyridin-3-yl- |

| | | |
|---|---|---|
| 366 | Naphthalen-2-yl- | Pyridin-4-yl- |
| 367 | Naphthalen-2-yl- | (6-Methyl-pyridin-2-yl)- |
| 368 | Naphthalen-2-yl- | (3-Methoxy-pyridin-2-yl)- |
| 369 | Naphthalen-2-yl- | (5-Methoxy-pyridin-2-yl)- |
| 370 | Naphthalen-2-yl- | (6-Methoxy-pyridin-3-yl)- |
| 371 | Naphthalen-2-yl- | (4-Ethoxy-pyridin-2-yl)- |
| 372 | Naphthalen-2-yl- | (4-Dimethylamino-phenyl)- |
| 373 | Naphthalen-2-yl- | (5-Dimethylamino-2-methoxy-phenyl)- |
| 374 | (3,5-Bis-dimethylamino-phenyl)- | (4-Methyl-phenyl)- |
| 375 | (3-Dimethylamino-4-methoxy-phenyl)- | (4-Methyl-phenyl)- |

43. The compound of claim 1 of the formula:

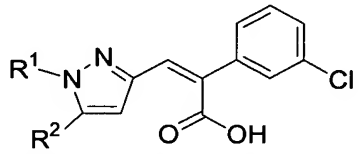



where $R^5$-Y- is selected from the groups consisting of:

Table 12

| EX | $R^5$-Y- |
|---|---|
| 376 | (5-Oxo-4,5-dihydro-1*H*-[1,2,4]triazol-3-ylsulfanyl)-methyl- |
| 377 | (3*H*-[1,2,3]Triazol-4-ylsulfanyl)-methyl- |
| 378 | (2*H*-[1,2,4]Triazole-3-sulfinyl)-methyl- |

44. The compound of claim 1 of the formula:




where $R^2$ and $R^1$ of such (*Z*) stereoisomeric compounds are selected concurrently from the groups consisting of:

Table 13

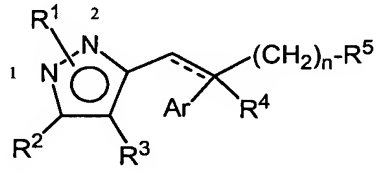
| EX | $R^2$ | $R^1$ |
|---|---|---|
| 379 | (4-Dimethylamino-phenyl)- | (4-Dimethylamino-phenyl)- |
| 380 | (4-Dimethylamino-phenyl)- | Naphthalen-2-yl- |
| 381 | (4-Dimethylamino-phenyl)- | (4-Chloro-phenyl)- |
| 382 | (4-Dimethylamino-phenyl)- | Phenyl- |
| 383 | (4-Dimethylamino-phenyl)- | Benzo[1,3]dioxol-5-yl- |
| 384 | Naphthalen-2-yl- | (4-Dimethylamino-phenyl)- |

| | | |
|---|---|---|
| 385 | Naphthalen-2-yl- | Naphthalen-2-yl- |
| 386 | Naphthalen-2-yl- | (4-Chloro-phenyl)- |
| 387 | Naphthalen-2-yl- | Phenyl- |
| 388 | Naphthalen-2-yl- | Benzo[1,3]dioxol-5-yl- |
| 389 | (4-Chloro-phenyl)- | (4-Dimethylamino-phenyl)- |
| 390 | (4-Chloro-phenyl)- | Naphthalen-2-yl- |
| 391 | (4-Chloro-phenyl)- | (4-Chloro-phenyl)- |
| 392 | (4-Chloro-phenyl)- | Phenyl- |
| 393 | (4-Chloro-phenyl)- | Benzo[1,3]dioxol-5-yl- |
| 394 | Phenyl- | (4-Dimethylamino-phenyl)- |
| 395 | Phenyl- | Naphthalen-2-yl- |
| 396 | Phenyl- | (4-Chloro-phenyl)- |
| 397 | Phenyl- | Phenyl- |
| 398 | Phenyl- | Benzo[1,3]dioxol-5-yl- |
| 399 | Benzo[1,3]dioxol-5-yl- | (4-Dimethylamino-phenyl)- |

| | | |
|---|---|---|
| 400 | Benzo[1,3]dioxol-5-yl- | Naphthalen-2-yl- |
| 401 | Benzo[1,3]dioxol-5-yl- | (4-Chloro-phenyl)- |
| 402 | Benzo[1,3]dioxol-5-yl- | Phenyl- |
| 403 | Benzo[1,3]dioxol-5-yl- | Benzo[1,3]dioxol-5-yl- |

45. The compound of claim 1 selected from the group consisting of: 2-Benzofuran-3-yl-3-[1-(4-methoxy-phenyl)-5-p-tolyl-1*H*-pyrazol-3-yl]-propionic acid; and
2-Benzofuran-3-yl-3-[5-(4-chloro-phenyl)-1-(4-methoxy-phenyl)-1*H*-pyrazol-3-yl]-propionic acid.

46. A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a pharmaceutically effective amount of a CCK-1 receptor antagonist of the general formula:




(I)

wherein,

$R^1$ is a 1- or 2-position substituent selected from the group consisting of hydrogen,

a) phenyl, optionally mono-, di- or tri-substituted with $R^p$ or di-substituted on adjacent carbons with $-OC_{1-4}alkyleneO-$, $-(CH_2)_{2-3}NH-$, $-(CH_2)_{1-2}NH(CH_2)-$, $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$ or $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$;

$R^p$ is selected from the group consisting of –OH, $-C_{1-6}alkyl$, $-OC_{1-6}alkyl$, phenyl, -Ophenyl, benzyl, -Obenzyl, $-C_{3-6}cycloalkyl$, $-OC_{3-6}cycloalkyl$, -CN, $-NO_2$, $-N(R^y)R^z$ (wherein $R^y$ and $R^z$ are

independently selected from H, $C_{1-6}$alkyl or $C_{1-6}$alkenyl, or $R^y$ and $R^z$ may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or >N($C_{1-4}$alkyl), optionally having one carbon substituted with –OH, and optionally having one or two unsaturated bonds in the ring), -(C=O)N($R^y$)$R^z$, -(N-$R^t$)C$OR^t$, -(N-$R^t$)$SO_2C_{1-6}$alkyl (wherein $R^t$ is H or $C_{1-6}$alkyl or two $R^t$ in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members), -(C=O)$C_{1-6}$alkyl, -(S=$(O)_n$)-$C_{1-6}$alkyl (wherein n is selected from 0, 1 or 2), -$SO_2$N($R^y$)$R^z$, -$SCF_3$, halo, -$CF_3$, -$OCF_3$, -COOH and -$COOC_{1-6}$alkyl;

b) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or >N($C_{1-4}$alkyl) and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^p$;

c) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^p$;

d) naphthyl, optionally mono-, di- or tri-substituted with $R^p$;

e) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or >N($C_{1-4}$alkyl), having up to two additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with $R^p$ and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with $R^p$;

f) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or

two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with $R^p$ and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with $R^p$;

g) adamantanyl or monocyclic $C_{5-7}$cycloalkyl, optionally having one or two carbon members optionally replaced with >O, >NH or >N($C_{1-4}$alkyl) and optionally having one or two unsaturated bonds in the ring and optionally having one of the ring atoms substituted with -OH, =O or $-CH_3$;

h) a $C_{1-8}$alkyl;

i) $C_{1-4}$alkyl, mono-substituted by a substituent selected from the group consisting of any one of a) to g);

$R^2$ is selected from the group consisting of:

i) phenyl, optionally mono-, di- or tri- substituted with $R^q$ or di-substituted on adjacent carbons with $-OC_{1-4}$alkyleneO-, $-(CH_2)_{2-3}NH-$, $-(CH_2)_{1-2}NH(CH_2)-$, $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$ or $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$;

$R^q$ is selected from the group consisting of –OH, $-C_{1-6}$alkyl, $-OC_{1-6}$alkyl, phenyl, -Ophenyl, benzyl, -Obenzyl, $-C_{3-6}$cycloalkyl, $-OC_{3-6}$cycloalkyl, -CN, $-NO_2$, $-N(R^y)R^z$ (wherein $R^y$ and $R^z$ are independently selected from H, $C_{1-6}$alkyl, $C_{1-6}$alkenyl, or $R^y$ and $R^z$ may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or >N($C_{1-4}$alkyl), optionally having one carbon substituted with -OH, and optionally having one or two unsaturated bonds in the ring, $-(C=O)N(R^y)R^z$, $-(N-R^t)COR^t$, $-(N-R^t)SO_2C_{1-6}$alkyl (wherein $R^t$ is H or $C_{1-6}$alkyl or two $R^t$ in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members), $-(C=O)C_{1-6}$alkyl, $-(S=(O)_n)-C_{1-6}$alkyl (wherein n is selected from 0, 1 or 2), $-SO_2N(R^y)R^z$, $-SCF_3$, halo, $-CF_3$, $-OCF_3$, -COOH and $-COOC_{1-6}$alkyl;

ii) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or >N($C_{1-4}$alkyl) and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^q$;

iii) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^q$;

iv) naphthyl, optionally mono-, di- or tri-substituted with $R^q$;

v) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or >N($C_{1-6}$alkyl), having up to one additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with $R^q$ and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with $R^q$; and

vi) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with $R^p$ and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with $R^q$;

$R^3$ is selected from the group consisting of H, halo, and $C_{1-6}$alkyl;

n is selected from 0,1, or 2, with the proviso that where $R^5$ is attached through –S-, the n is 1 or 2;

$R^4$ is selected from the group consisting of H, halo or $C_{1-6}$alkyl or a covalent bond in the case where the a double bond is present in the above structure;

Ar is selected from the group consisting of:

A) phenyl, optionally mono-, di- or tri-substituted with $R^r$ or di-substituted on adjacent carbons with -O$C_{1-4}$alkyleneO-,

$-(CH_2)_{2-3}NH-$, $-(CH_2)_{1-2}NH(CH_2)-$, $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$ or $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$;

$R^r$ is selected from the group consisting of –OH, $-C_{1-6}alkyl$, $-OC_{1-6}alkyl$, phenyl, -Ophenyl, benzyl, -Obenzyl, $-C_{3-6}cycloalkyl$, $-OC_{3-6}cycloalkyl$, -CN, $-NO_2$, $-N(R^y)R^z$ (wherein $R^y$ and $R^z$ are independently selected from H, $C_{1-6}alkyl$ or $C_{1-6}alkenyl$, or $R^y$ and $R^z$ may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or $>N(C_{1-4}alkyl)$, optionally having one carbon substituted with -OH, and optionally having one or two unsaturated bonds in the ring), $-(C=O)N(R^y)R^z$, $-(N-R^t)COR^t$, $-(N-R^t)SO_2C_{1-6}alkyl$ (wherein $R^t$ is H or $C_{1-6}alkyl$ or two $R^t$ in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members), $-(C=O)C_{1-6}alkyl$, $-(S=(O)_n)-C_{1-6}alkyl$ (wherein n is selected from 0, 1 or 2), $-SO_2N(R^y)R^z$, $-SCF_3$, halo, $-CF_3$, $-OCF_3$, -COOH and $-COOC_{1-6}alkyl$;

B) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or $>N(C_{1-4}alkyl)$ and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^r$;

C) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^r$;

D) naphthyl, optionally mono-, di- or tri-substituted with $R^r$;

E) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or $>N(C_{1-4}alkyl)$, having up to one additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with $R^r$ and optionally benzo fused on the

condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with $R^r$; and

F) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with $R^r$ and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with $R^r$;

$R^5$ is selected from the group consisting of;

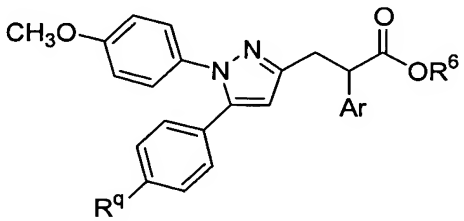
I) $-COOR^6$, where $R^6$ is selected from the group consisting of H and $-C_{1-4}$alkyl,

II) $-CONR^7R^8$, where $R^7$ and $R^8$ are independently selected from the group consisting of hydrogen, $C_{1-6}$alkyl and $C_{3-6}$cycloalkyl optionally hydroxy substituted, or $R^7$ and $R^8$ may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 5 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or $>N(C_{1-4}$alkyl) and optionally having one or two unsaturated bonds in the ring; and

III) tetrazolyl, [1,2,4]triazol-3-ylsulfanyl, [1,2,4]triazol-3-ylsulfonyl, [1,2,4]triazole-3-sulfinyl and [1,2,3]triazol-4-ylsulfanyl, [1,2,3]triazol-4-ylsulfonyl, [1,2,3]triazol-4-sulfinyl.

and enantiomers, diastereomers and pharmaceutically acceptable salts and esters thereof;

except said formula does not include compounds of the following formula, and/or racemic mixtures of such compounds:

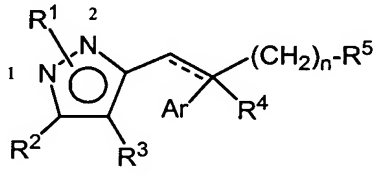



where $R^q$, Ar and $R^6$ are selected concurrently from the groups consisting of:

| CP# | $R^q$ | Ar | $R^6$ |
|---|---|---|---|
| R1 | -Cl | phenyl- | $-CH_2CH_3$ |
| R2 | -Cl | 3,4-diMeO-phenyl- | $-CH_2CH_3$ |
| R3 | -Cl | 4-MeO-phenyl- | $-CH_2CH_3$ |
| R4 | $-CH_3$ | 2-naphthyl- | $-CH_2CH_3$ |
| R5 | $-CH_3$ | 1-naphthyl- | $-CH_2CH_3$ |
| R6 | $-CH_3$ | 2-MeO-phenyl- | $-CH_2CH_3$ |
| R7 | $-CH_3$ | 2-pyridyl- | $-CH_2CH_3$ |
| R8 | $-CH_3$ | 2-carboxymethyl-phenyl- | $-CH_2CH_3$ |
| R9 | $-CH_3$ | 3-pyridyl- | $-CH_2CH_3$ |
| R10 | -Cl | 4-MeO-phenyl- | -H |
| R11 | -Cl | 3,4-diMeO-phenyl- | -H |
| R12 | $-CH_3$ | 2-naphthyl- | -H |
| R13 | $-CH_3$ | 1-naphthyl- | -H |
| R14 | $-CH_3$ | 2-MeO-phenyl- | -H |
| R15 | $-CH_3$ | 2-carboxy-phenyl- | -H |

| | | | |
|---|---|---|---|
| R16 | $-CH_3$ | 4-biphenyl | $-CH_2CH_3$ and |
| R17 | -CH3 | 4-biphenyl | -H. |

47. A method for treating pain, drug dependence, anxiety, panic attack, schizophrenia, pancreatic disorder, secretory disorder, motility disorders, functional bowel disease, biliary colic, anorexia and cancer in mammals comprising administering to a mammal suffering from said conditions, in a pharmaceutically acceptable carrier, an effective amount of a CCK-1 receptor antagonist of the general formula:




(I)

wherein,

$R^1$ is a 1- or 2-position substituent selected from the group consisting of hydrogen,

a) phenyl, optionally mono-, di- or tri-substituted with $R^p$ or di-substituted on adjacent carbons with $-OC_{1-4}$alkyleneO-, $-(CH_2)_{2-3}NH-$, $-(CH_2)_{1-2}NH(CH_2)-$, $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$ or $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$;

$R^p$ is selected from the group consisting of –OH, $-C_{1-6}$alkyl, $-OC_{1-6}$alkyl, phenyl, -Ophenyl, benzyl, -Obenzyl, $-C_{3-6}$cycloalkyl, $-OC_{3-6}$cycloalkyl, -CN, $-NO_2$, $-N(R^y)R^z$ (wherein $R^y$ and $R^z$ are independently selected from H, $C_{1-6}$alkyl or $C_{1-6}$alkenyl, or $R^y$ and $R^z$ may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or $>N(C_{1-4}alkyl)$, optionally having one carbon substituted with –OH, and optionally having one or two unsaturated bonds in the ring), $-(C=O)N(R^y)R^z$, $-(N-R^t)COR^t$, $-(N-R^t)SO_2C_{1-6}$alkyl

(wherein $R^t$ is H or $C_{1-6}$alkyl or two $R^t$ in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members), -(C=O)$C_{1-6}$alkyl, -(S=(O)$_n$)-$C_{1-6}$alkyl (wherein n is selected from 0, 1 or 2), -$SO_2N(R^y)R^z$, -$SCF_3$, halo, -$CF_3$, -$OCF_3$, -COOH and -$COOC_{1-6}$alkyl;

b) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or >N($C_{1-4}$alkyl) and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^p$;

c) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^p$;

d) naphthyl, optionally mono-, di- or tri-substituted with $R^p$;

e) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or >N($C_{1-4}$alkyl), having up to two additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with $R^p$ and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with $R^p$;

f) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with $R^p$ and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with $R^p$;

g) adamantanyl or monocyclic $C_{5-7}$cycloalkyl, optionally having one or two carbon members optionally replaced with >O, >NH or >N($C_{1-4}$alkyl) and optionally having one or two unsaturated bonds in

the ring and optionally having one of the ring atoms substituted with -OH, =O or $-CH_3$;

h) a $C_{1-8}$alkyl;

i) $C_{1-4}$alkyl, mono-substituted by a substituent selected from the group consisting of any one of a) to g);

$R^2$ is selected from the group consisting of:

i) phenyl, optionally mono-, di- or tri- substituted with $R^q$ or di-substituted on adjacent carbons with $-OC_{1-4}$alkyleneO-, $-(CH_2)_{2-3}NH-$, $-(CH_2)_{1-2}NH(CH_2)-$, $-(CH_2)_{2-3}N(C_{1-4}alkyl)-$ or $-(CH_2)_{1-2}N(C_{1-4}alkyl)(CH_2)-$;

$R^q$ is selected from the group consisting of –OH, $-C_{1-6}$alkyl, $-OC_{1-6}$alkyl, phenyl, -Ophenyl, benzyl, -Obenzyl, $-C_{3-6}$cycloalkyl, $-OC_{3-6}$cycloalkyl, -CN, $-NO_2$, $-N(R^y)R^z$ (wherein $R^y$ and $R^z$ are independently selected from H, $C_{1-6}$alkyl, $C_{1-6}$alkenyl, or $R^y$ and $R^z$ may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or $>N(C_{1-4}alkyl)$, optionally having one carbon substituted with -OH, and optionally having one or two unsaturated bonds in the ring, $-(C=O)N(R^y)R^z$, $-(N-R^t)COR^t$, $-(N-R^t)SO_2C_{1-6}$alkyl (wherein $R^t$ is H or $C_{1-6}$alkyl or two $R^t$ in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members), $-(C=O)C_{1-6}$alkyl, $-(S=(O)_n)-C_{1-6}$alkyl (wherein n is selected from 0, 1 or 2), $-SO_2N(R^y)R^z$, $-SCF_3$, halo, $-CF_3$, $-OCF_3$, -COOH and $-COOC_{1-6}$alkyl;

ii) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or $>N(C_{1-4}alkyl)$ and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^q$;

iii) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^q$;

iv) naphthyl, optionally mono-, di- or tri-substituted with $R^q$;

v) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or >N($C_{1-6}$alkyl), having up to one additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with $R^q$ and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with $R^q$; and

vi) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to the N-oxide, optionally mono- or di-substituted with $R^p$ and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with $R^q$;

$R^3$ is selected from the group consisting of H, halo, and $C_{1-6}$alkyl;

n is selected from 0,1, or 2, with the proviso that where $R^5$ is attached through –S-, the n is 1 or 2;

$R^4$ is selected from the group consisting of H, halo or $C_{1-6}$alkyl or a covalent bond in the case where the a double bond is present in the above structure;

Ar is selected from the group consisting of:

A) phenyl, optionally mono-, di- or tri-substituted with $R^r$ or di-substituted on adjacent carbons with -O$C_{1-4}$alkyleneO-, -$(CH_2)_{2-3}$NH-, -$(CH_2)_{1-2}$NH$(CH_2)$-, -$(CH_2)_{2-3}$N($C_{1-4}$alkyl)- or -$(CH_2)_{1-2}$N($C_{1-4}$alkyl)$(CH_2)$-;

$R^r$ is selected from the group consisting of –OH, -$C_{1-6}$alkyl, -O$C_{1-6}$alkyl, phenyl, -Ophenyl, benzyl, -Obenzyl, -$C_{3-6}$cycloalkyl, -O$C_{3-6}$cycloalkyl, -CN, -$NO_2$, -N($R^y$)$R^z$ (wherein $R^y$ and $R^z$ are independently selected from H, $C_{1-6}$alkyl or $C_{1-6}$alkenyl, or $R^y$ and

$R^z$ may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or >N($C_{1-4}$alkyl), optionally having one carbon substituted with -OH, and optionally having one or two unsaturated bonds in the ring), -(C=O)N($R^y$)$R^z$, -(N-$R^t$)C$OR^t$, -(N-$R^t$)$SO_2C_{1-6}$alkyl (wherein $R^t$ is H or $C_{1-6}$alkyl or two $R^t$ in the same substituent may be taken together with the amide of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 4 to 6 members), -(C=O)$C_{1-6}$alkyl, -(S=(O)$_n$)-$C_{1-6}$alkyl (wherein n is selected from 0, 1 or 2), -$SO_2$N($R^y$)$R^z$, -$SCF_3$, halo, -$CF_3$, -$OCF_3$, -COOH and -$COOC_{1-6}$alkyl;

B) phenyl or pyridyl fused at two adjacent ring members to a three membered hydrocarbon moiety to form a fused five membered aromatic ring, which moiety has one carbon atom replaced by >O, >S, >NH or >N($C_{1-4}$alkyl) and which moiety has up to one additional carbon atom optionally replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^r$;

C) phenyl fused at two adjacent ring members to a four membered hydrocarbon moiety to form a fused six membered aromatic ring, which moiety has one or two carbon atoms replaced by N, the fused rings optionally mono-, di- or tri-substituted with $R^r$;

D) naphthyl, optionally mono-, di- or tri-substituted with $R^r$;

E) a monocyclic aromatic hydrocarbon group having five ring atoms, having a carbon atom which is the point of attachment, having one carbon atom replaced by >O, >S, >NH or >N($C_{1-4}$alkyl), having up to one additional carbon atoms optionally replaced by N, optionally mono- or di-substituted with $R^r$ and optionally benzo fused on the condition that two or fewer of said carbon ring atoms are replaced by a heteroatom, where the benzo fused moiety is optionally mono- di- or tri-substituted with $R^r$; and

F) a monocyclic aromatic hydrocarbon group having six ring atoms, having a carbon atom which is the point of attachment, having one or two carbon atoms replaced by N, having one N optionally oxidized to

the N-oxide, optionally mono- or di-substituted with $R^r$ and optionally benzo fused, where the benzo fused moiety is optionally mono- or di-substituted with $R^r$;

$R^5$ is selected from the group consisting of;

I) -$COOR^6$, where $R^6$ is selected from the group consisting of H and -$C_{1-4}$alkyl,

II) -$CONR^7R^8$, where $R^7$ and $R^8$ are independently selected from the group consisting of hydrogen, $C_{1-6}$alkyl and $C_{3-6}$cycloalkyl optionally hydroxy substituted, or $R^7$ and $R^8$ may be taken together with the nitrogen of attachment to form an otherwise aliphatic hydrocarbon ring, said ring having 5 to 7 members, optionally having one carbon replaced with >O, =N-, >NH or >N($C_{1-4}$alkyl) and optionally having one or two unsaturated bonds in the ring; and

III) tetrazolyl, [1,2,4]triazol-3-ylsulfanyl, [1,2,4]triazol-3-ylsulfonyl, [1,2,4]triazole-3-sulfinyl and [1,2,3]triazol-4-ylsulfanyl, [1,2,3]triazol-4-ylsulfonyl, [1,2,3]triazol-4-sulfinyl.

and enantiomers, diastereomers and pharmaceutically acceptable salts and esters thereof.